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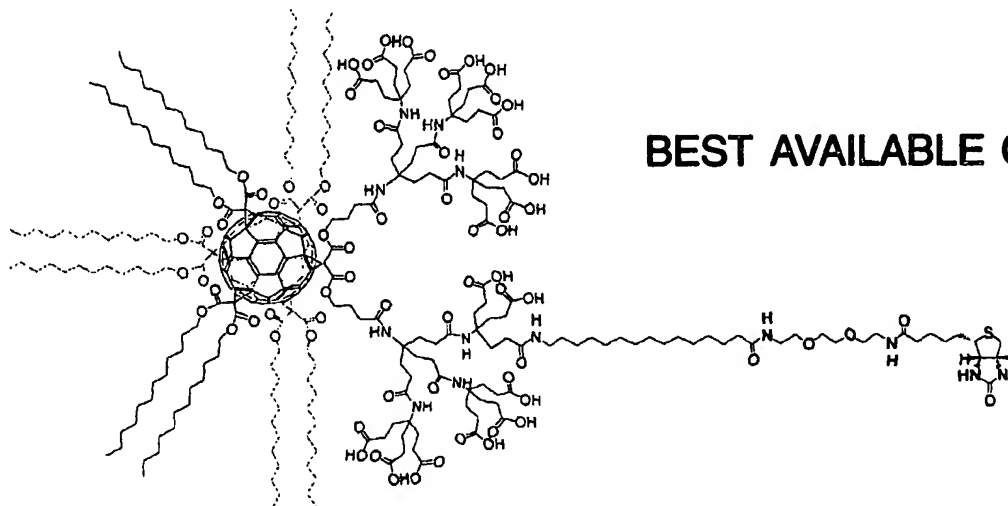
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For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: **USE OF BUCKYSOME OR CARBON NANOTUBE FOR DRUG DELIVERY**



(57) Abstract: Compositions and methods for administering a therapeutic agent to a mammal are disclosed. The compositions comprise either (i) vesicles comprising an amphiphilic substituted fullerene, wherein the therapeutic agent is present in the vesicle interior or between layers of the vesicle wall, (ii) a substituted fullerene, comprising a fullerene core and a functional moiety, wherein the therapeutic agent is associated with the substituted fullerene, or (iii) carbon nanotubes, wherein the therapeutic agent is covalently bonded to the carbon nanotubes.

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Serial No. 10/623,110  
Ref. B1

## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/US 03/04416

**A. CLASSIFICATION OF SUBJECT MATTER**  
IPC 7 A61K47/48

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, EMBASE, BIOSIS

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 02 05803 A (UNIV CALIFORNIA) 24 January 2002 (2002-01-24) claims 1,3,7,10 -----	1
X	US 5 466 587 A (FITZPATRICK-MCELLIGOTT SANDRA ET AL) 14 November 1995 (1995-11-14) example 1 -----	26-34
X	BRETTREICH, MICHAEL ET AL: "Globular amphiphiles: membrane-forming hexaadducts of C60" ANGEWANDTE CHEMIE, INTERNATIONAL EDITION (2000), 39(10), 1845-1848 CODEN: ACIEF5; ISSN: 1433-7851, 2000, XP002253470 scheme 2 ----- -/--	1-44

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

\* Special categories of cited documents:

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

5 September 2003

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## INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 03/04416

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	HIRSCH, A. ET AL: "C60 hexakisadducts with an octahedral addition pattern - a new structure motif in organic chemistry" EUROPEAN JOURNAL OF ORGANIC CHEMISTRY (2001), (5), 829-848 CODEN: EJOCFK; ISSN: 1434-193X, 2001, XP0001166828 p. 838, scheme 8	1-44
Y	BRAUN, M. ET AL: "Fullerene derivatives in bilayer membranes: an overview" CARBON (2000), 38(11-12), 1565-1572 CODEN: CRBNAH; ISSN: 0008-6223, 2000, XP002253460	1-44
X	abstract; figure 1	1
Y	WO 99 47570 A (UNIV ROCHESTER) 23 September 1999 (1999-09-23) claims 1,54,55; figures	1-44
Y	BRAUN, MARTIN ET AL: "Synthesis of a biotinated lipofullerene as a new type of transmembrane anchor" EUROPEAN JOURNAL OF ORGANIC CHEMISTRY (2000), (7), 1173-1181 CODEN: EJOCFK; ISSN: 1434-193X, 2000, XP0001166826 cited in the application abstract	1-44

## INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US 03/04416

### Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
see FURTHER INFORMATION sheet PCT/ISA/210
  
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
  
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

### Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
  
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
  
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
  
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.1

Although claims 12-20, 30-34, 41-43 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

Although claim 44 are directed to a diagnostic method practised on the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

The applicant's attention is drawn to the fact that claims relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure. If the application proceeds into the regional phase before the EPO, the applicant is reminded that a search may be carried out during examination before the EPO (see EPO Guideline C-VI, 8.5), should the problems which led to the Article 17(2) declaration be overcome.

## INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

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Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0205803	A	24-01-2002	AU 7342601 A	30-01-2002
			EP 1301183 A1	16-04-2003
			WO 0205803 A1	24-01-2002
			US 2003077224 A1	24-04-2003
			US 2002037253 A1	28-03-2002
<hr/>				
US 5466587	A	14-11-1995	AU 7102194 A	24-01-1995
			EP 0706576 A1	17-04-1996
			WO 9501448 A1	12-01-1995
<hr/>				
WO 9947570	A	23-09-1999	AU 742976 B2	17-01-2002
			AU 3191399 A	11-10-1999
			CA 2324140 A1	23-09-1999
			EP 1064310 A1	03-01-2001
			WO 9947570 A1	23-09-1999
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